STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 141014

TO: Rei-Tsang Shiao **Location: 5a10 / 5c18** **Wednesday, December 22, 2004** **Art Unit: 1626** **Phone: 272-0707** **Serial Number: 10 / 685020**	**From: Jan Delaval** **Location: Biotech-Chem Library** **Rem 1A51** **Phone: 272-2504** **jan.delaval@uspto.gov**

Search Notes

Jan Delord for search

141014

Access DB# _____

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Robert (Rob) Shiekh Examiner #: 79521 Date: 12/21/04

Art Unit: 1626 Phone Number 8-0709 Serial Number: 10/685020

Mail Box and Bldg/Room Location: 5A70/5C18 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

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Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

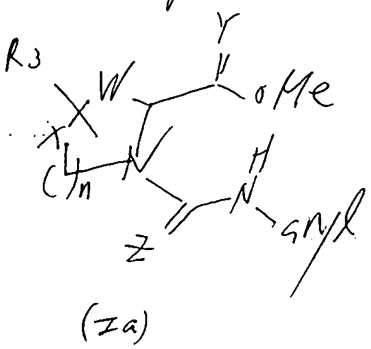
Title of invention: Bicyclic modulator

Inventors (please provide full names): Hamann et al

Earliest Priority Filing Date: _____

**For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

I search cpd I (see claim)



(Ia)

* W is C, CO, C=NO

* X is C, O, N

* Y is C, S, H

* Z is O, S, N

* n is 1, or 2

I methods of use of cpd I

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STAFF USE ONLY

Searcher:		NA Sequence (#)_____	STN ✓
Searcher Phone #:	22504	AA Sequence (#)_____	Dialog _____
Searcher Location:		Structure (#) ✓	Questel/Orbit _____
Date Searcher Picked Up:	12/22	Bibliographic _____	Dr.Link _____
Date Completed:	12/22	Litigation _____	Lexis/Nexis _____
Searcher Prep & Review Time:		Fulltext _____	Sequence Systems _____
Clerical Prep Time:	15	Patent Family _____	WWW/Internet _____
Online Time:	+20	Other _____	Other (specify) _____

PTO-1590 (8-01)

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FILE 'REGISTRY' ENTERED AT 14:51:17 ON 22 DEC 2004
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STRUCTURE FILE UPDATES:   20 DEC 2004  HIGHEST RN 800365-77-9
DICTIONARY FILE UPDATES:  20 DEC 2004  HIGHEST RN 800365-77-9

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> d sta que l27
L23             STR
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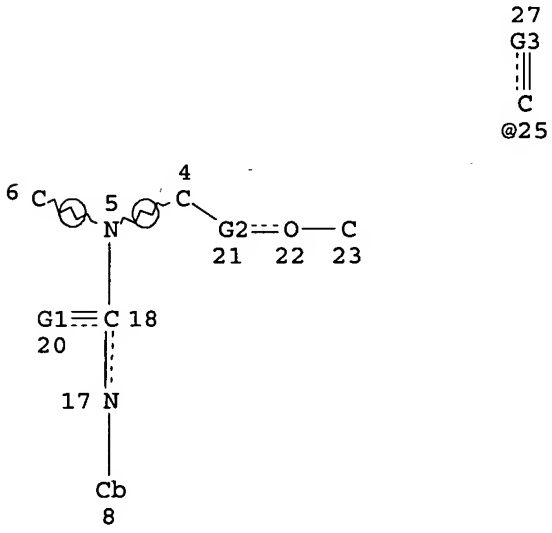


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VAR G2=C/25
VAR G3=O/S
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GGCAT   IS PCY  UNS  AT   8
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  12

STEREO ATTRIBUTES: NONE
L25       800109 SEA FILE=REGISTRY ABB=ON  PLU=ON  C6-C6/ES AND NR>=2
L27            9 SEA FILE=REGISTRY SUB=L25 SSS FUL L23
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100.0% PROCESSED 27 ITERATIONS 9 ANSWERS
SEARCH TIME: 00.00.01

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L2           SEL L1 1- RN :       97 TERMS
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L4            63 S L3 AND C6-C6/ES
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L7             1 S E3 AND C6-C6/ES AND NC4/ES AND 3/NR
L8             1 S L6,L7
L9            52 S L4 AND NR>=3
L10           51 S L9 NOT L8
L11           35 S L10 AND NCNC2-NC4/ES
L12           16 S L10 NOT L11
L13            4 S L12 AND NCNC2-NC5/ES
L14           12 S L12 NOT L13
L15            7 S L14 NOT L5
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L33            2 S L8
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L35            3 S L33,L34

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L37             5 S L30
L38             5 S L36,L37

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=> d ide can l8

L8   ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   496841-10-2  REGISTRY
CN   L-Proline, 1-[[(4-cyano-1-naphthalenyl)amino]carbonyl]-3-hydroxy-, methyl
     ester, (3R)- (9CI)  (CA INDEX NAME)
OTHER NAMES:
CN   (2S,3R)-1-[(4-Cyanonaphthalen-1-yl)carbamoyl]-3-hydroxypyrrolidine-2-
     carboxylic acid methyl ester
FS   STEREOSEARCH
MF   C18 H17 N3 O4
SR   CA
LC   STN Files:   CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.
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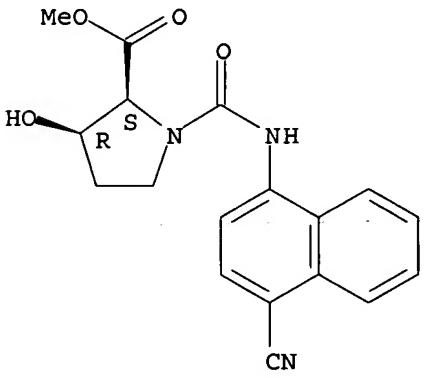


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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

               2 REFERENCES IN FILE CA (1907 TO DATE)
               2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE   1:  141:28605

REFERENCE   2:  138:153537


=> d ide can l30 tot

L30  ANSWER 1 OF 5  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   496840-99-4  REGISTRY
CN   L-Proline, 1-[[(4-nitro-1-naphthalenyl)amino]carbonyl]-, methyl ester
     (9CI)  (CA INDEX NAME)
OTHER NAMES:
CN   (2S)-1-[[(4-Nitro-1-naphthalenyl)amino]carbonyl]-2-pyrrolidinecarboxylic
     acid methyl ester
FS   STEREOSEARCH
MF   C17 H17 N3 O5
SR   CA
LC   STN Files:   CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
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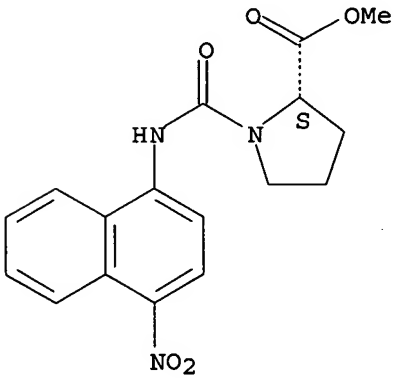
DT.CA CAplus document type: Patent
RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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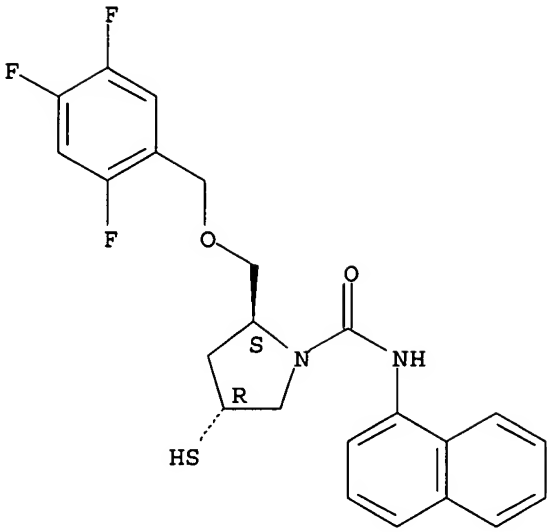
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:153537

L30 ANSWER 2 OF 5 REGISTRY COPYRIGHT 2004 ACS on STN
RN 393790-64-2 REGISTRY
CN 1-Pyrrolidinecarboxamide, 4-mercapto-N-1-naphthalenyl-2-[[(2,4,5-trifluorophenyl)methoxy]methyl]-, (2S,4R)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C23 H21 F3 N2 O2 S
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



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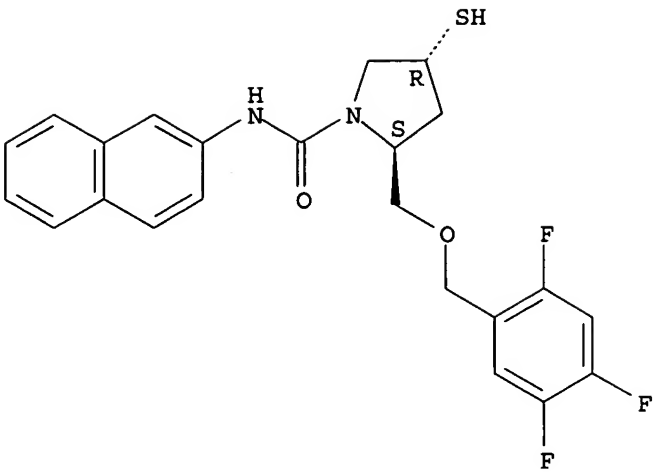
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:151068

L30 ANSWER 3 OF 5 REGISTRY COPYRIGHT 2004 ACS on STN
RN 393790-63-1 REGISTRY
CN 1-Pyrrolidinecarboxamide, 4-mercapto-N-2-naphthalenyl-2-[[(2,4,5-trifluorophenyl)methoxy]methyl]-, (2S,4R)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C23 H21 F3 N2 O2 S
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



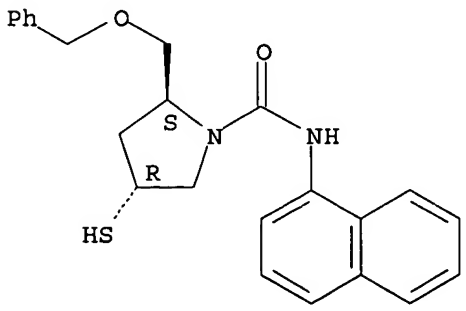
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:151068

L30 ANSWER 4 OF 5 REGISTRY COPYRIGHT 2004 ACS on STN
RN 393790-61-9 REGISTRY
CN 1-Pyrrolidinecarboxamide, 4-mercapto-N-1-naphthalenyl-2-[(phenylmethoxy)methyl]-, (2S,4R)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C23 H24 N2 O2 S
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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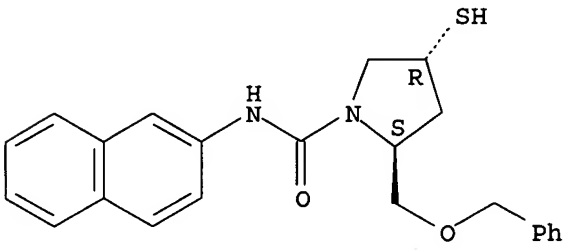
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:151068

L30 ANSWER 5 OF 5 REGISTRY COPYRIGHT 2004 ACS on STN
RN 393790-60-8 REGISTRY
CN 1-Pyrrolidinecarboxamide, 4-mercapto-N-2-naphthalenyl-2-[(phenylmethoxy)methyl]-, (2S,4R)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C23 H24 N2 O2 S
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
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REFERENCE 1: 136:151068

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FILE COVERS 1907 - 22 Dec 2004 VOL 141 ISS 26
FILE LAST UPDATED: 21 Dec 2004 (20041221/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d all hitstr tot l35

L35 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:452960 HCAPLUS
DN 141:28605

ED Entered STN: 04 Jun 2004
TI Open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions
IN Hamann, Lawrence G.; Augeri, David J.; Manfredi, Mark C.
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K
CC 63-5 (Pharmaceuticals)
FAN.CNT 1

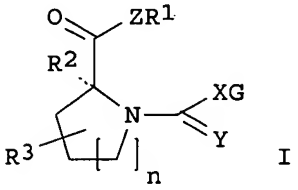
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004045518	A2	20040603	WO 2003-US36331	20031113
WO 2004045518	A3	20041007		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2002-426694P P 20021115
CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2004045518	ICM	A61K

OS MARPAT 141:28605
GI



I

AB The invention provides for a pharmaceutical composition capable of modulating the androgen receptor comprising a compound of formula (I), wherein R1, R2 and R3 are groups consisting of hydrogen (H), alkyl, or substituted alkyl etc; G is a mono- or polycyclic ring system; X is a linking group selected from the group consisting of NR4 and CHR4; Y is selected from the group consisting of oxygen (O), NR4, NOR4 and sulfur (S); Z is oxygen (-O-) or NR4. Further provided are methods of using such compds. for the treatment of nuclear hormone receptor-associated conditions, such as age related diseases, for example sarcopenia, and also provided are pharmaceutical compns. containing such compds.
ST prolyl urea related modulator androgen receptor therapy; nuclear hormone receptor assocd condition therapy
IT Aging, animal
(-related functional decline; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)
IT Muscle, disease
(atrophy; open chain prolyl urea-related modulators of androgen

receptor function therapeutic use for nuclear hormone receptor-associated conditions)

IT Heart, disease
(cardiac syndrome X; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)

IT Glycosides
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cardiac; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)

IT Drug delivery systems
(carriers; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)

IT Glucocorticoids
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(catabolic side effect of; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)

IT Fatigue, biological
(chronic fatigue syndrome; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)

IT Mental disorder
(cognitive, reduced function; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)

IT Diabetes mellitus
(complication; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)

IT Mental disorder
(depression; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)

IT Metabolism, animal
(disorder, chronic catabolic state; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)

IT Behavior
(disorder, nervousness, irritability; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)

IT Cognition
(disorder, reduced function; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)

IT Appetite
(disorder; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)

IT Osteoporosis
(drug for; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)

IT Bone, disease
(fracture, repair; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)

IT Disease, animal
(frailty; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated

conditions)
IT Reproductive tract, disease
(hypogonadism; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)
IT Disease, animal
(lipodistrophy; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)
IT Disease, animal
(long-term critical illness; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)
IT Contraceptives
(male; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)
IT Disease, animal
(metabolic syndrome X; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)
IT Thyroid hormones
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(mimetic; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)
IT Progesterone receptors
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(modulator; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)
IT Muscle, disease
(muscle loss following elective surgery; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)
IT Anabolic agents
Anti-inflammatory agents
Antidepressants
Antidiabetic agents
Antihypertensives
Antiobesity agents
Anxiolytics
Cachexia
Obesity
Selective estrogen receptor modulators
Stress, biological
(open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)
IT Androgen receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)
IT Estrogens
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)
IT Growth factors, animal
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated

conditions)

IT Bone, disease
(reduced bone d. or growth; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)

IT Muscle, disease
(reduced strength and function; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)

IT Growth disorders, animal
(retarded; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)

IT Muscle
(sarcopenia; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)

IT Chemotherapy
(side effect; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)

IT Fatigue, biological
(syndrome; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)

IT Disease, animal
(wasting; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)

IT 121-44-8, Triethylamine, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(TEA; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)

IT 57-88-5, Cholesterol, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(lowering agent; open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)

IT 7732-18-5, Water, uses
RL: NUU (Other use, unclassified); USES (Uses)
(open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)

IT 75-03-6, Iodoethane 75-44-5, Phosgene 79-22-1, Methyl chloroformate 109-72-8, Butyl lithium, reactions 144-55-8, Carbonic acid monosodium salt, reactions 320-51-4, 4-Chloro-3-(trifluoromethyl)aniline 544-92-3, Copper cyanide 567-35-1, cis-3-Hydroxyproline 1892-57-5, EDAC 2592-95-2, HOBT 3282-30-2, Pivaloyl chloride 4111-54-0, 2-Propanamine, N-(1-methylethyl)-, lithium salt 6674-22-2, DBU 7087-68-5, Diisopropylethylamine 36062-93-8 68634-82-2 496841-08-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)

IT 13691-92-4P 14311-32-1P 488713-30-0P 488713-31-1P 496841-05-5P **496841-10-2P** 697228-47-0P 697228-48-1P 697228-49-2P 697228-50-5P 697228-51-6P 697228-52-7P 697228-53-8P 697228-54-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)

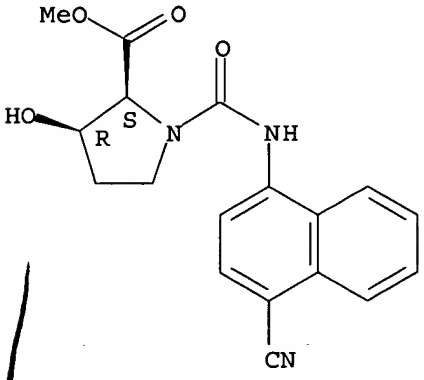
IT 57-83-0, Progesterone, biological studies 58-22-0, Testosterone 9002-64-6, Parathyroid hormone 9002-72-6, Growth hormone 13598-36-2, Phosphonic acid 304853-26-7, Growth hormone secretagogue
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)

IT **496841-10-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(open chain prolyl urea-related modulators of androgen receptor function therapeutic use for nuclear hormone receptor-associated conditions)

RN 496841-10-2 HCAPLUS

CN L-Proline, 1-[[(4-cyano-1-naphthalenyl)amino]carbonyl]-3-hydroxy-, methyl ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L35 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:117794 HCAPLUS

DN 138:153537

ED Entered STN: 14 Feb 2003

TI Preparation of imidazole-containing heterobicyclic modulators of androgen receptor function

IN Sun, Chongqing; Robl, Jeffrey A.; Salvati, Mark E.; Wang, Tammy; Hamann, Lawrence; Augeri, David

PA Bristol-Myers Squibb Company, USA

SO PCT Int. Appl., 99 pp.
CODEN: PIXXD2

DT Patent

LA English

IC ICM C07D207-09
ICS C07D211-04; C07D235-02; A61K031-40; A61K031-4184; A61K031-4188

CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 2

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003011824	A1	20030213	WO 2002-US24185	20020731

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

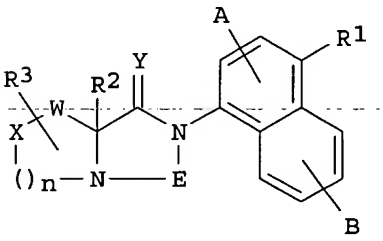
US 2003055094	A1	20030320	US 2002-209461	20020731
US 6670386	B2	20031230		
EP 1414795	A1	20040506	EP 2002-756813	20020731
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US 2004092559	A1	20040513	US 2003-685020	20031014
PRAI US 2001-309059P	P	20010731		
US 2002-209461	A3	20020731		
WO 2002-US24185	W	20020731		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2003011824	ICM	C07D207-09
	ICS	C07D211-04; C07D235-02; A61K031-40; A61K031-4184; A61K031-4188
US 2003055094	ECLA	C07D471/04+235C+221C; C07D487/04+235C+209C; C07D487/04+241C+235C; C07D513/04+277C+235C
US 2004092559	ECLA	C07D471/04+235C+221C; C07D487/04+235C+209C; C07D487/04+241C+235C; C07D513/04+277C+235C

OS MARPAT 138:153537

GI



I

AB The invention provides imidazole-containing heterobicyclic compds. (shown as I, including all prodrug esters, pharmaceutically acceptable salts and stereoisomers thereof; variables defined below; e.g. tetrahydro-2-(4-nitro-1-naphthalenyl)imidazo[1,5-a]pyridine-1,3(2H,5H)-dione), methods of using such compds. for the treatment of nuclear hormone receptor-associated conditions, such as age related diseases, for example sarcopenia, and pharmaceutical compns. containing such compds. Pharmacol. assay procedures are described but results for I are not reported. For I: R1 = H, cyano, nitro, halo, heterocyclo, OR4, CO2R5, CONHR5, COR5, S(O)mR5, SO2NR5R5', NHCOR5 and NHSO2R5; R2 = H, alkyl or substituted alkyl, (un)substituted alkenyl, (un)substituted arylalkyl, CO2R5, CONR5R5' and CH2OR5; R3 = H, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted cycloalkyl, (un)substituted arylalkyl, (un)substituted heterocycloalkyl, (un)substituted aryl, (un)substituted heteroaryl, halo, cyano, NHCOR5, NHCO2R5, NHCONR5R5', NHSO2R5 and OR4. R4 = H, (un)substituted alkyl, CHF2, CF3 and COR5; R5 and R5' = H, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted cycloalkyl, (un)substituted heterocycloalkyl, (un)substituted arylalkyl, (un)substituted aryl, (un)substituted heteroaryl and cyano; W = (CR6R6')m, CHOH(CR6R6')m, CO(CR6R6')m and C:NOR4(CR6R6')m. R6 and R6' = H, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted cycloalkyl, (un)substituted arylalkyl, (un)substituted heterocycloalkyl, (un)substituted aryl, (un)substituted heteroaryl, halo, cyano, NHCOR5, NHCO2R5, NHCONR5R5', NHSO2R5 and OR4; X = methylene, O,

S(O)m, NCOR5, NCO2R5, NCONHR5R5', NSO2NR5R5'; Y = O, S and H2; E = C:Z, CHR5, SO2, P(O)R5 and P(O)OR5; Z = O, S, NH and NR5; A and B = H, halo, cyano, nitro, (un)substituted alkyl and OR4; m = 0-2; and n = 1-2;. Although the methods of preparation are not claimed, 42 example prepns. are included.

ST imidazole heterobicyclic compd prepn androgen receptor modulator

IT Fatigue, biological
(acute fatigue syndrome following elective surgery; preparation of imidazole-containing heterobicyclic modulators of androgen receptor function with therapeutic uses)

IT Osteoporosis
(anti-osteoporosis agents; combined with imidazole-containing heterobicyclic modulators of androgen receptor function for therapy or prophylaxis)

IT Muscle, disease
(atrophy; preparation of imidazole-containing heterobicyclic modulators of androgen receptor function with therapeutic uses)

IT Glycosides
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cardiac; combined with imidazole-containing heterobicyclic modulators of androgen receptor function for therapy or prophylaxis)

IT Glucocorticoids
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(catabolic side effects; preparation of imidazole-containing heterobicyclic modulators of androgen receptor function with therapeutic uses)

IT Fatigue, biological
(chronic fatigue syndrome; preparation of imidazole-containing heterobicyclic
modulators of androgen receptor function with therapeutic uses)

IT Mental disorder
(cognitive; preparation of imidazole-containing heterobicyclic modulators of androgen receptor function with therapeutic uses)

IT Anabolic agents
Anti-inflammatory agents
Anticholesteremic agents
Antidepressants
Antidiabetic agents
Antihypertensives
Antiobesity agents
Anxiolytics
(combined with imidazole-containing heterobicyclic modulators of androgen receptor function for therapy or prophylaxis)

IT Estrogens
Growth factors, animal
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combined with imidazole-containing heterobicyclic modulators of androgen receptor function for therapy or prophylaxis)

IT Mental disorder
(depression; preparation of imidazole-containing heterobicyclic modulators of
androgen receptor function with therapeutic uses)

IT Metabolism, animal
(disorder, catabolic, chronic catabolic state; preparation of imidazole-containing heterobicyclic modulators of androgen receptor function with therapeutic uses)

IT Appetite
Cognition
(disorder; preparation of imidazole-containing heterobicyclic modulators of androgen receptor function with therapeutic uses)

IT Drug delivery systems
(for imidazole-containing heterobicyclic modulators of androgen receptor function)

IT Bone, disease

(fracture, repair; preparation of imidazole-containing heterobicyclic modulators
of androgen receptor function with therapeutic uses)
IT Reproductive tract, disease
(hypogonadism; preparation of imidazole-containing heterobicyclic modulators of
androgen receptor function with therapeutic uses)
IT Mental disorder
(irritability; preparation of imidazole-containing heterobicyclic modulators of
androgen receptor function with therapeutic uses)
IT Contraceptives
(male, contraception; preparation of imidazole-containing heterobicyclic
modulators of androgen receptor function with therapeutic uses)
IT Disease, animal
(metabolic syndrome X; preparation of imidazole-containing heterobicyclic
modulators of androgen receptor function with therapeutic uses)
IT Thyroid gland
(mimetics; combined with imidazole-containing heterobicyclic modulators of
androgen receptor function for therapy or prophylaxis)
IT Progesterone receptors
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(modulators; combined with imidazole-containing heterobicyclic modulators
of androgen receptor function for therapy or prophylaxis)
IT Androgen receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(modulators; preparation of imidazole-containing heterobicyclic modulators of
androgen receptor function)
IT Hormone receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(nuclear; preparation of imidazole-containing heterobicyclic modulators of
androgen receptor function)
IT Human
(preparation of imidazole-containing heterobicyclic modulators of androgen
receptor function)
IT Anxiety
Cachexia
Cognition enhancers
Diabetes mellitus
Lipodystrophy
Obesity
Stress, animal
(preparation of imidazole-containing heterobicyclic modulators of androgen
receptor function with therapeutic uses)
IT Bone, disease
(reduced d. or growth; preparation of imidazole-containing heterobicyclic
modulators of androgen receptor function with therapeutic uses)
IT Growth disorders, animal
(retarded; preparation of imidazole-containing heterobicyclic modulators of
androgen receptor function with therapeutic uses)
IT Muscle, disease
(sarcopenia; preparation of imidazole-containing heterobicyclic modulators of
androgen receptor function with therapeutic uses)
IT Estrogen receptors
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(selective modulators; combined with imidazole-containing heterobicyclic
modulators of androgen receptor function for therapy or prophylaxis)
IT Chemotherapy
(side effects; preparation of imidazole-containing heterobicyclic modulators of
androgen receptor function with therapeutic uses)

IT Disease, animal
(wasting; preparation of imidazole-containing heterobicyclic modulators of androgen receptor function with therapeutic uses)
IT Muscle, disease
(weakness; preparation of imidazole-containing heterobicyclic modulators of androgen receptor function with therapeutic uses)
IT 9002-72-6, Growth hormone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(and secretagogues; combined with imidazole-containing heterobicyclic modulators of androgen receptor function for therapy or prophylaxis)
IT 57-83-0, Progesterone, biological studies 58-22-0, Testosterone 9002-64-6, Parathyroid hormone 13598-36-2D, Phosphonic acid, bisphosphonates
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combined with imidazole-containing heterobicyclic modulators of androgen receptor function for therapy or prophylaxis)
IT 496841-03-3P 496841-12-4P 496841-25-9P, (7AS)-4-(1,3-Dioxo-5,7a-dihydro-1H-pyrrolo[1,2-c]imidazol-2-yl)naphthalene-1-carbonitrile 496841-32-8P, (7R,7AS)-4-(7-hydroxy-7-methyl-1,3-dioxotetrahydropyrrolo[1,2-c]imidazol-2-yl)naphthalene-1-carbonitrile
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(drug candidate, chromatog. resolution; preparation of imidazole-containing heterobicyclic modulators of androgen receptor function)
IT 496841-46-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate, chromatog. resolution; preparation of imidazole-containing heterobicyclic modulators of androgen receptor function)
IT 496841-06-6P, (7R,7AS)-4-[Tetrahydro-7-hydroxy-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl]-1-naphthalenecarbonitrile 496841-11-3P, (7S,7AR)-4-[Tetrahydro-7-hydroxy-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl]-1-naphthalenecarbonitrile 496841-27-1P, (7AR)-4-(1,3-Dioxo-5,7a-dihydro-1H-pyrrolo[1,2-c]imidazol-2-yl)naphthalene-1-carbonitrile
RL: PAC (Pharmacological activity); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of imidazole-containing heterobicyclic modulators of androgen receptor function)
IT 496841-13-5P, (7S,7AR)-Tetrahydro-7-hydroxy-2-(4-nitro-1-naphthalenyl)-1H-pyrrolo[1,2-c]imidazole-1,3(2H)-dione 496841-14-6P, (7R,7AS)-Tetrahydro-7-hydroxy-2-(4-nitro-1-naphthalenyl)-1H-pyrrolo[1,2-c]imidazole-1,3(2H)-dione 496841-34-0P, (7S,7AR)-4-(7-Hydroxy-7-methyl-1,3-dioxotetrahydropyrrolo[1,2-c]imidazol-2-yl)naphthalene-1-carbonitrile 496841-47-5P, (8R,8AS)-4-(8-Hydroxy-1,3-dioxohexahydroimidazo[1,5-a]pyridin-2-yl)naphthalene-1-carbonitrile 496841-48-6P, (8S,8AR)-4-(8-Hydroxy-1,3-dioxohexahydroimidazo[1,5-a]pyridin-2-yl)naphthalene-1-carbonitrile
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of imidazole-containing heterobicyclic modulators of androgen receptor function)
IT 496841-49-7P, 2-(4-Cyanonaphthalen-1-yl)-1,3-dioxohexahydroimidazo[1,5-a]pyrazine-7-carboxylic acid tert-butyl ester 496841-50-0P, 4-(1,3-Dioxohexahydroimidazo[1,5-a]pyrazin-2-yl)naphthalene-1-carbonitrile hydrochloride

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of imidazole-containing heterobicyclic modulators
of androgen receptor function)

IT 496840-96-1P, Tetrahydro-2-(4-nitro-1-naphthalenyl)imidazo[1,5-a]pyridine-1,3(2H,5H)-dione 496840-97-2P, Tetrahydro-2-(4-nitro-1-naphthalenyl)-1H-pyrrolo[1,2-c]imidazole-1,3(2H)-dione 496841-00-0P 496841-01-1P, (6R)-Tetrahydro-6-hydroxy-2-(4-nitro-1-naphthalenyl)-1H-pyrrolo[1,2-c]imidazole-1,3(2H)-dione 496841-02-2P, (6R)-Tetrahydro-2-(4-nitro-1-naphthalenyl)-6-(phenylmethoxy)-1H-pyrrolo[1,2-c]imidazole-1,3(2H)-dione 496841-15-7P 496841-16-8P 496841-18-0P 496841-19-1P 496841-20-4P 496841-21-5P, 4-(5,7-Dioxodihydroimidazo[1,5-c]thiazol-6-yl)naphthalene-1-carbonitrile 496841-22-6P 496841-23-7P 496841-24-8P, 4-(1,3-Dioxo-5,6-dihydro-1H-pyrrolo[1,2-c]imidazol-2-yl)naphthalene-1-carbonitrile 496841-28-2P, (7AR)-4-(6,7-Dihydroxy-1,3-dioxotetrahydropyrrolo[1,2-c]imidazol-2-yl)naphthalene-1-carbonitrile 496841-29-3P, (7AS)-4-(6,7-Dihydroxy-1,3-dioxotetrahydropyrrolo[1,2-c]imidazol-2-yl)naphthalene-1-carbonitrile 496841-30-6P, (7S,7AR)-4-(7-Hydroxy-7a-methyl-1,3-dioxotetrahydropyrrolo[1,2-c]imidazol-2-yl)naphthalene-1-carbonitrile 496841-31-7P, (7R,7AS)-4-(7-Hydroxy-7a-methyl-1,3-dioxotetrahydropyrrolo[1,2-c]imidazol-2-yl)naphthalene-1-carbonitrile 496841-35-1P, (7R,7AS)-4-(7-Hydroxy-1-oxo-3-thioxotetrahydropyrrolo[1,2-c]imidazol-2-yl)naphthalene-1-carbonitrile 496841-37-3P, (3R,7S,7AS)-4-(3-tert-Butyl-7-hydroxy-1-oxotetrahydropyrrolo[1,2-c]imidazol-2-yl)naphthalene-1-carbonitrile 496841-40-8P, (3R,7S,7AS)-4-(7-Hydroxy-1-oxo-3-phenyltetrahydropyrrolo[1,2-c]imidazol-2-yl)naphthalene-1-carbonitrile 496841-41-9P, (3S,7S,7AS)-4-(7-Hydroxy-1-oxo-3-phenyltetrahydropyrrolo[1,2-c]imidazol-2-yl)naphthalene-1-carbonitrile 496841-42-0P, (3R,6R,7AS)-4-(3-tert-Butyl-6-hydroxy-1-oxotetrahydropyrrolo[1,2-c]imidazol-2-yl)naphthalene-1-carbonitrile 496841-51-1P, 4-(7-Methanesulfonyl-1,3-dioxohexahydroimidazo[1,5-a]pyrazin-2-yl)naphthalene-1-carbonitrile 496841-52-2P, 2-(4-Cyanonaphthalen-1-yl)-1,3-dioxohexahydroimidazo[1,5-a]pyrazine-7-carboxylic acid methyl ester 496841-53-3P, (7R,7AR)-4-(7-Hydroxy-3-oxotetrahydropyrrolo[1,2-c]imidazol-2-yl)naphthalene-1-carbonitrile 496841-56-6P, (7S,7AS)-4-(7-Hydroxy-3-oxotetrahydropyrrolo[1,2-c]imidazol-2-yl)naphthalene-1-carbonitrile 496841-58-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of imidazole-containing heterobicyclic modulators
of androgen receptor function)

IT 100-52-7, Benzaldehyde, reactions 630-19-3, Pivalaldehyde 776-34-1, 1-Amino-4-nitronaphthalene 874-24-8, 3-Hydroxypicolinic acid 2298-07-9, 1-Amino-4-bromonaphthalene 4298-08-2, trans-3-Hydroxy-L-proline 15862-72-3 34592-47-7, L-Thioproline 40126-30-5, cis-4-Hydroxy-L-proline methyl ester hydrochloride 40216-83-9, trans-4-Hydroxy-L-proline methyl ester hydrochloride 54631-81-1, 1-(tert-Butoxycarbonyl)-(R)-4-benzyloxy-L-proline 58728-64-6, 4-Amino-1-naphthalenecarbonitrile 66831-17-2, trans-4-Benzyloxy-L-proline methyl ester hydrochloride 74844-93-2, N-Boc-3,4-dehydro-L-proline methyl ester 438631-75-5, 4-tert-Butoxycarbonyl-2-piperazinecarboxylic acid tert-butyl ester
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of imidazole-containing heterobicyclic modulators of androgen receptor function)

IT 341-95-7P, 4-Nitro-1-naphthyldiazonium tetrafluoroborate 1591-96-4P, 4-Bromo-1-naphthalene isocyanate 2133-40-6P, L-Proline methyl ester hydrochloride 2577-48-2P, L-Proline methyl ester 35616-00-3P,

4-Nitro-1-naphthalenecarboxylic acid methyl ester 54528-16-4P, 4-Nitro-1-naphthalene isocyanate 60667-24-5P, Thiazolidine-4-carboxylic acid methyl ester 130966-46-0P, (2S,3R)-N-tert-Butoxycarbonyl-3-hydroxy-2-pyrrolidinecarboxylic acid methyl ester 156045-82-8P, (2S,3S)-3-(tert-Butyldimethylsilanyloxy)pyrrolidine-1,2-dicarboxylic acid 1-tert-butyl ester 157252-24-9P, 4-Amino-1-naphthalenecarboxylic acid methyl ester 179686-58-9P, [tert-Butoxycarbonyl(3-oxobutyl)amino]acetic acid ethyl ester 184046-78-4P, (2S,3S)-N-tert-Butoxycarbonyl-3-hydroxy-2-pyrrolidinecarboxylic acid methyl ester 187039-57-2P, (2S,3S)-3-Hydroxypyrrolidine-1,2-dicarboxylic acid 1-tert-butyl ester 194297-98-8P, cis-3-Hydroxypiperidine-2-carboxylic acid 194297-99-9P, cis-3-Hydroxypiperidine-2-carboxylic acid methyl ester hydrochloride 213131-32-9P, Methyl trans-3-hydroxy-L-prolinate hydrochloride **496840-99-4P**, (2S)-1-[[(4-Nitro-1-naphthalenyl)amino]carbonyl]-2-pyrrolidinecarboxylic acid methyl ester 496841-04-4P, (2S,3S)-3-Hydroxy-2-pyrrolidinecarboxylic acid methyl ester 496841-05-5P, 4-Cyano-1-naphthalene isocyanate 496841-07-7P, (2S,3R)-N-tert-Butoxycarbonyl-3-benzoyloxy-2-pyrrolidinecarboxylic acid methyl ester 496841-08-8P, (2S,3R)-3-Hydroxy-2-pyrrolidinecarboxylic acid methyl ester 496841-09-9P, (2S,3R)-3-Hydroxy-2-pyrrolidinecarboxylic acid methyl ester trifluoroacetic acid salt **496841-10-2P**, (2S,3R)-1-[(4-Cyanonaphthalen-1-yl)carbamoyl]-3-hydroxypyrrolidine-2-carboxylic acid methyl ester 496841-17-9P, 4-Isocyanato-1-naphthalenecarboxylic acid methyl ester 496841-26-0P, 3,4-Dehydro-L-proline methyl ester trifluoroacetic acid salt 496841-33-9P 496841-36-2P, 4-Cyano-N-thionyl-1-naphthylamine 496841-38-4P, (2S,3S)-3-(tert-Butyldimethylsilanyloxy)-2-[(4-cyanonaphthalen-1-yl)carbamoyl]pyrrolidine-1-carboxylic acid tert-butyl ester 496841-39-5P, (2S,3S)-3-Hydroxypyrrolidine-2-carboxylic acid (4-cyanonaphthalen-1-yl)amide 496841-43-1P, (2S,4R)-4-Benzyloxy-2-[(4-cyanonaphthalen-1-yl)carbamoyl]pyrrolidine-1-carboxylic acid tert-butyl ester 496841-44-2P, (2S,4R)-4-Benzyloxypyrrolidine-2-carboxylic acid (4-cyanonaphthalen-1-yl)amide 496841-45-3P, (3R,6R,7AS)-4-(6-Benzyloxy-3-tert-butyl-1-oxotetrahydropyrrolo[1,2-c]imidazol-2-yl)naphthalene-1-carbonitrile 496841-55-5P, (7R,7AS)-4-(1,7-Dihydroxy-3-oxotetrahydropyrrolo[1,2-c]imidazol-2-yl)naphthalene-1-carbonitrile 496841-57-7P, (7S,7AR)-4-(1,7-Dihydroxy-3-oxotetrahydropyrrolo[1,2-c]imidazol-2-yl)naphthalene-1-carbonitrile
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of imidazole-containing heterobicyclic modulators of androgen receptor function)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

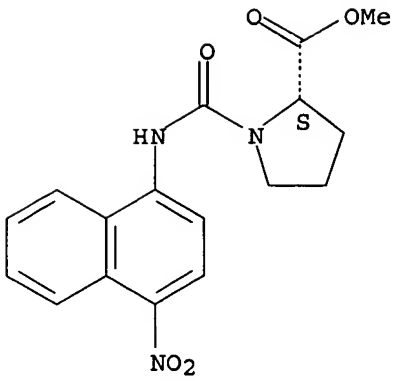
(1) Gpi Nil Holdings Inc; WO 0146195 A1 2001 HCAPLUS

IT **496840-99-4P**, (2S)-1-[[(4-Nitro-1-naphthalenyl)amino]carbonyl]-2-pyrrolidinecarboxylic acid methyl ester **496841-10-2P**, (2S,3R)-1-[(4-Cyanonaphthalen-1-yl)carbamoyl]-3-hydroxypyrrolidine-2-carboxylic acid methyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of imidazole-containing heterobicyclic modulators of androgen receptor function)

RN 496840-99-4 HCAPLUS

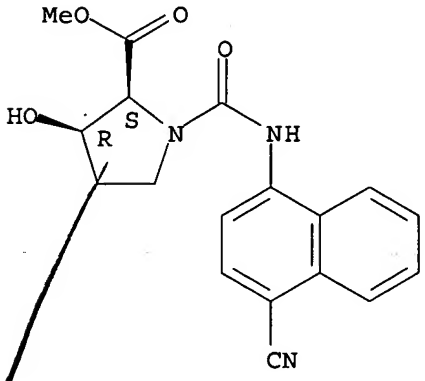
CN L-Proline, 1-[[(4-nitro-1-naphthalenyl)amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 496841-10-2 HCAPLUS
CN L-Proline, 1-[[(4-cyano-1-naphthalenyl)amino]carbonyl]-3-hydroxy-, methyl ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L35 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:90005 HCAPLUS
DN 136:151068
ED Entered STN: 01 Feb 2002
TI Preparation of pyrrolidinethiols and analogs as metalloprotease inhibitors
IN Aebi, Johannes; Bur, Daniel; Chucholowski, Alexander; Dehmlow, Henrietta; Kitas, Eric Argirios; Obst, Ulrike; Wessel, Hans Peter
PA F. Hoffmann-La Roche A.-G., Switz.
SO PCT Int. Appl., 160 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07D207-48
ICS C07D207-36; C07D405-12; C07D409-12; C07D413-12; C07D417-12; C07D401-12; C07D403-06; A61K031-40; A61P009-00
CC 27-10 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002008185	A1	20020131	WO 2001-EP7951	20010710

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RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ,
VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2415740	AA	20020131	CA 2001-2415740		20010710
EP 1303486	A1	20030423	EP 2001-956523		20010710
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
BR 2001012655	A	20030624	BR 2001-12655		20010710
JP 2004504379	T2	20040212	JP 2002-514092		20010710
US 2002040146	A1	20020404	US 2001-906980		20010717
ZA 2003000170	A	20040407	ZA 2003-170		20030107
US 2003199569	A1	20031023	US 2003-373622		20030225
US 6790860	B2	20040914			
US 2004242672	A1	20041202	US 2004-881427		20040630
PRAI EP 2000-114949	A	20000719			
WO 2001-EP7951	W	20010710			
US 2001-906980	B3	20010717			
US 2003-373622	A3	20030225			

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2002008185	ICM	C07D207-48
	ICS	C07D207-36; C07D405-12; C07D409-12; C07D413-12; C07D417-12; C07D401-12; C07D403-06; A61K031-40; A61P009-00
JP 2004504379	FTERM	4C063/AA01; 4C063/BB08; 4C063/CC82; 4C063/DD03; 4C063/EE01; 4C069/AA12; 4C069/AA23; 4C069/BC14; 4C069/BC18; 4C069/BD03; 4C069/BD06; 4C086/AA01; 4C086/AA02; 4C086/AA03; 4C086/BC07; 4C086/GA02; 4C086/GA07; 4C086/MA01; 4C086/MA04; 4C086/NA14; 4C086/ZA06; 4C086/ZA33; 4C086/ZA36; 4C086/ZA40; 4C086/ZA42; 4C086/ZA45; 4C086/ZA59; 4C086/ZA66; 4C086/ZA68; 4C086/ZA81; 4C086/ZA89; 4C086/ZB08; 4C086/ZB21; 4C086/ZB26; 4C086/ZB35; 4C086/ZC20; 4C086/ZC35
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US 2003199569	ECLA	C07D207/36; C07D207/48; C07D401/12+213+207; C07D401/12+215+207; C07D401/12+217+207; C07D403/06209C+207; C07D405/12+317+207; C07D405/12+319+207; C07D409/12+333B+207; C07D413/12+216+207;

OS MARPAT 136:151068

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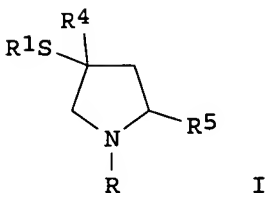

I

AB Title compds. [e.g., I; R = Z1R3 or SO3H; R1 = H, alkanoyl, aroyl; R3 = alkyl, (hetero)aryl, heterocyclyl, etc.; R4 = H or alkyl; R5 = CH2Z2R2; R2 = aryl(alkyl), ar(o)ylamino, arylsulfonyl, etc.; Z1 = sulfonyl(amino),

CONH, CO2, etc.; Z2 = CH2, O, S, (un)substituted NH] were prepared Thus, e.g., (3R,5S)-1-naphthalene-2-sulfonyl-5-anilinomethylpyrrolidine-3-thiol was prepared Data for biol. activity of title compds. were given.

ST pyrrolidinethiol prepn metalloprotease inhibitor; vasoconstriction inhibitor pyrrolidinethiol prepn; zinc hydrolase inhibitor pyrrolidinethiol prepn

IT Vasoconstriction
(inhibitors; preparation of pyrrolidinethiols and analogs as metalloprotease inhibitors)

IT 81669-70-7, Metalloprotease 138238-81-0, Endothelin converting enzyme
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(mediated disorders; treatment; preparation of pyrrolidinethiols and analogs as metalloprotease inhibitors)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolidinethiols and analogs as metalloprotease inhibitors)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolidinethiols and analogs as metalloprotease inhibitors)

IT 51-35-4, L-Hydroxyproline 64-04-0, Phenethylamine 78-84-2 85-41-6, Phthalimide 85-46-1, 1-Naphthalenesulfonyl chloride 89-99-6, 2-Fluorobenzylamine 93-11-8, 2-Naphthalenesulfonyl chloride 98-03-3, 2-Thiophenecarboxaldehyde 98-59-9, p-Toluenesulfonyl chloride 98-88-4, Benzoyl chloride 98-89-5, Cyclohexanecarboxylic acid 100-39-0, Benzylbromide 100-46-9, Benzylamine, reactions 100-53-8, Benzenemethanethiol 103-49-1, Dibenzylamine 103-67-3, N-Benzylmethylamine 103-79-7, Phenylacetone 107-91-5, Cyanoacetamide 108-23-6, Isopropyl chloroformate 109-89-7, Diethylamine, reactions 110-89-4, Piperidine, reactions 111-36-4, Butyl isocyanate 123-54-6, Acetylacetone, reactions 140-29-4, Benzylcyanide 141-97-9, Ethyl acetoacetate 351-54-2, 3-Fluoro-p-anisaldehyde 367-12-4, 2-Fluorophenol 500-22-1, 3-Pyridinecarboxaldehyde 501-53-1, Benzyl chloroformate 529-20-4, o-Tolualdehyde 592-34-7, Butyl chloroformate 613-45-6, 2,4-Dimethoxybenzaldehyde 773-99-9, 1-Naphthaleneethanol 872-85-5, 4-Pyridinecarboxaldehyde 1126-09-6, Ethyl isonipecotate 1129-28-8, 3-Bromomethylbenzoic acid methyl ester 1485-07-0, 2-Naphthaleneethanol 1679-64-7, Monomethyl terephthalate 1885-14-9, Phenyl chloroformate 2043-61-0, Cyclohexanecarboxaldehyde 2550-36-9, (Bromomethyl)cyclohexane 2646-90-4, 2,5-Difluorobenzaldehyde 3042-81-7, Methyl α-bromophenylacetate 3695-77-0,

Triphenylmethanethiol 3978-80-1, Boc-L-tyrosine 4392-24-9, 3-Bromo-1-phenyl-1-propene 4644-61-5, 3-Ethoxycarbonyl-4-piperidone hydrochloride 5292-43-3, tert-Butyl bromoacetate 6258-60-2 7693-41-6, p-Methoxyphenyl chloroformate 7693-50-7, Chloroformic acid 2-naphthyl ester 7781-98-8, Ethyl 3-hydroxybenzoate 13139-15-6, Boc-L-leucine 22002-68-2, N-Ethyl-2-phenylethylamine 27821-10-9 38377-38-7, 4-Fluorophenyl chloroformate 40216-83-9 60656-87-3, Benzyloxyacetaldehyde 61837-46-5, Methyl 2-bromo-4-methylvalerate 62147-27-7 64567-25-5, N-Ethyl-2-fluorobenzylamine 72235-51-9, 2,3-Difluorobenzylamine 72235-52-0, 2,4-Difluorobenzylamine 74844-91-0 79487-90-4 81927-55-1, Benzyl 2,2,2-trichloroacetimidate 85118-06-5, 2,5-Difluorobenzylamine 98935-66-1 115948-87-3, 4-(2,4-Dioxo-1,4-dihydro-2H-quinazolin-3-yl)butyric acid 157911-56-3, 2,4,5-Trifluorobenzylbromide 175694-38-9 230295-09-7, 2,3,6-Trifluorobenzylamine 244022-72-8, 2,3,5-Trifluorobenzylamine 393153-87-2 393793-82-3 393793-83-4, 1,4-Benzodioxan-5-yl chloroformate 394208-21-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrrolidinethiols and analogs as metalloprotease inhibitors)

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393793-46-9P 393793-47-0P 393793-48-1P 393793-49-2P 393793-50-5P
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393793-76-5P 393793-77-6P 393793-78-7P 393793-79-8P 393793-80-1P
393793-81-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrolidinethiols and analogs as metalloprotease inhibitors)

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD

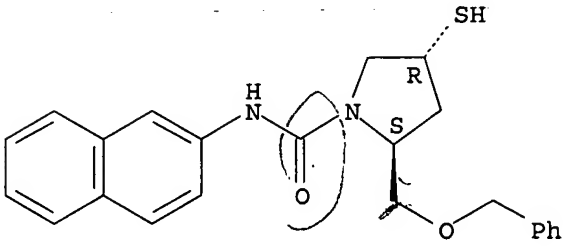
RE

(1) Abbott Lab; WO 9730046 A 1997 HCAPLUS
(2) Banyu Pharma Co Ltd; EP 0747381 A 1996 HCAPLUS
(3) Fujisawa Pharmaceutical Co; EP 0333175 A 1989 HCAPLUS
(4) Fujisowa Pharmaceutical Co Ltd; JP 06263761 A 1994 HCAPLUS
(5) Procter & Gamble; WO 9808814 A 1998 HCAPLUS
(6) Procter & Gamble; WO 9808815 A 1998 HCAPLUS
(7) Shionogi & Co; EP 0528678 A 1993 HCAPLUS
(8) Sumitomo Pharma; EP 0182213 A 1986 HCAPLUS
(9) Yoo, J; HCAPLUS
(10) Yoo, J; YAKHAK HOECHI 1999, V43(3), P306 HCAPLUS

IT **393790-60-8P 393790-61-9P 393790-63-1P**
393790-64-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolidinethiols and analogs as metalloprotease inhibitors)

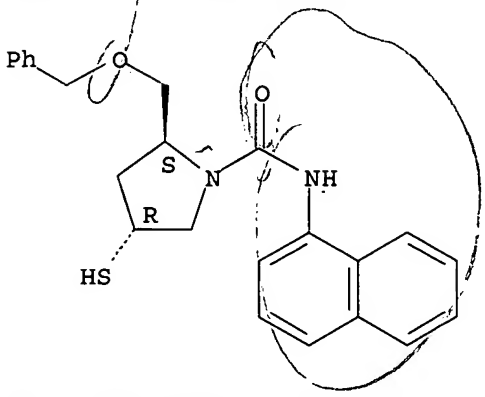
RN 393790-60-8 HCAPLUS
CN 1-Pyrrolidinecarboxamide, 4-mercapto-N-2-naphthalenyl-2-[(phenylmethoxy)methyl]-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 393790-61-9 HCAPLUS
CN 1-Pyrrolidinecarboxamide, 4-mercapto-N-1-naphthalenyl-2-[(phenylmethoxy)methyl]-, (2S,4R)- (9CI) (CA INDEX NAME)

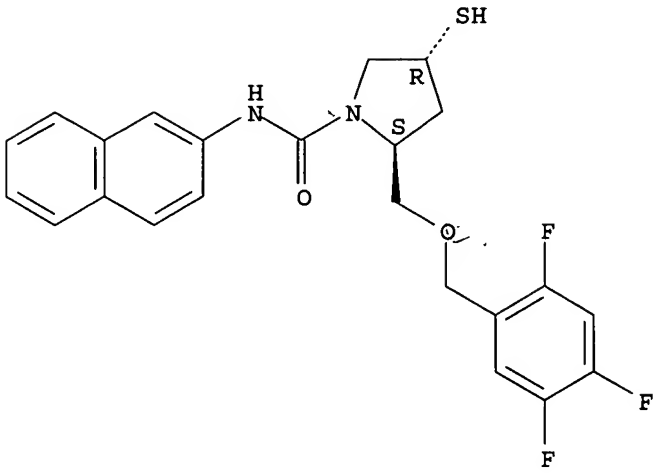
Absolute stereochemistry.



RN 393790-63-1 HCAPLUS
CN 1-Pyrrolidinecarboxamide, 4-mercapto-N-2-naphthalenyl-2-[[(2,4,5-

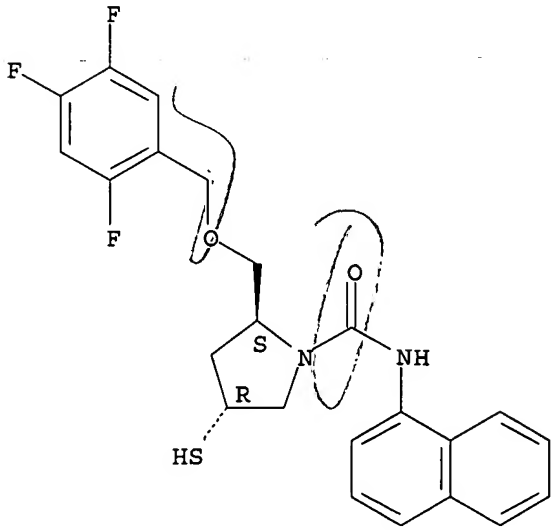
trifluorophenyl)methoxy]methyl]-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 393790-64-2 HCAPLUS
CN 1-Pyrrolidinecarboxamide, 4-mercapto-N-1-naphthalenyl-2-[[(2,4,5-trifluorophenyl)methoxy]methyl]-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 21 Dec 2004 (20041221/PD)
FILE LAST UPDATED: 21 Dec 2004 (20041221/ED)
HIGHEST GRANTED PATENT NUMBER: US6834393
HIGHEST APPLICATION PUBLICATION NUMBER: US2004255355
CA INDEXING IS CURRENT THROUGH 21 Dec 2004 (20041221/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 21 Dec 2004 (20041221/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2004

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2004

>>> USPAT2 is now available. USPATFULL contains full text of the <<<
>>> original, i.e., the earliest published granted patents or <<<
>>> applications. USPAT2 contains full text of the latest US <<<
>>> publications, starting in 2001, for the inventions covered in <<<
>>> USPATFULL. A USPATFULL record contains not only the original <<<
>>> published document but also a list of any subsequent <<<
>>> publications. The publication number, patent kind code, and <<<
>>> publication date for all the US publications for an invention <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<
>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>> /PK, etc. <<<

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>>> through the new cluster USPATALL. Type FILE USPATALL to <<<
>>> enter this cluster. <<<
>>> <<<
>>> Use USPATALL when searching terms such as patent assignees, <<<
>>> classifications, or claims, that may potentially change from <<<
>>> the earliest to the latest publication. <<<

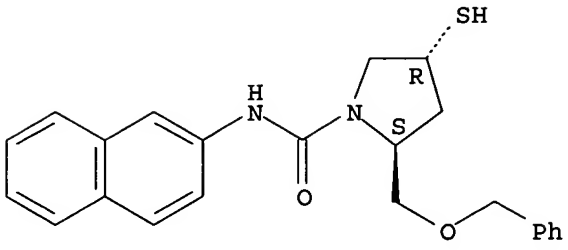
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d l38 bib abs hitstr tot

L38 ANSWER 1 OF 5 USPATFULL on STN
AN 2004:307996 USPATFULL
TI Pyrrolidine derivatives
IN Aebi, Johannes, Basle, SWITZERLAND
Bur, Daniel, Therwil, SWITZERLAND
Chucholowski, Alexander, San Diego, CA, UNITED STATES
Dehmlow, Henrietta, Grenzach-Wyhlen, GERMANY, FEDERAL REPUBLIC OF
Kitas, Eric Argirios, Arlesheim, SWITZERLAND
Obst, Ulrike, Grenzach-Wyhlen, GERMANY, FEDERAL REPUBLIC OF
Wessel, Hans Peter, Heitersheim, GERMANY, FEDERAL REPUBLIC OF
PI US 2004242672 A1 20041202
AI US 2004-881427 A1 20040630 (10)
RLI Division of Ser. No. US 2003-373622, filed on 25 Feb 2003, GRANTED, Pat. No. US 6790860 Division of Ser. No. US 2001-906980, filed on 17 Jul 2001, ABANDONED
PRAI EP 2000-114949 20000719
DT Utility
FS APPLICATION
LREP HOFFMANN-LA ROCHE INC., PATENT LAW DEPARTMENT, 340 KINGSLAND STREET, NUTLEY, NJ, 07110
CLMN Number of Claims: 59
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 5156
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention relates to pyrrolidine derivatives useful as inhibitors of metalloproteases, e.g. zinc proteases, and which are effective in treating disease states associated with vasoconstriction.

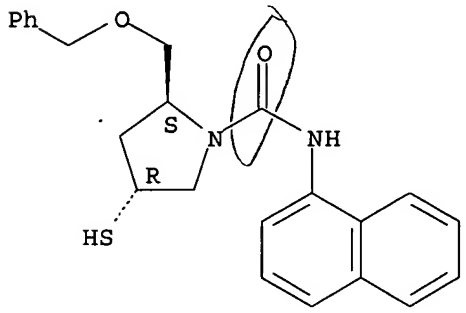
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **393790-60-8P 393790-61-9P 393790-63-1P**
393790-64-2P
(preparation of pyrrolidinethiols and analogs as metalloprotease inhibitors)
RN 393790-60-8 USPATFULL
CN 1-Pyrrolidinecarboxamide, 4-mercapto-N-2-naphthalenyl-2-[(phenylmethoxy)methyl]-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



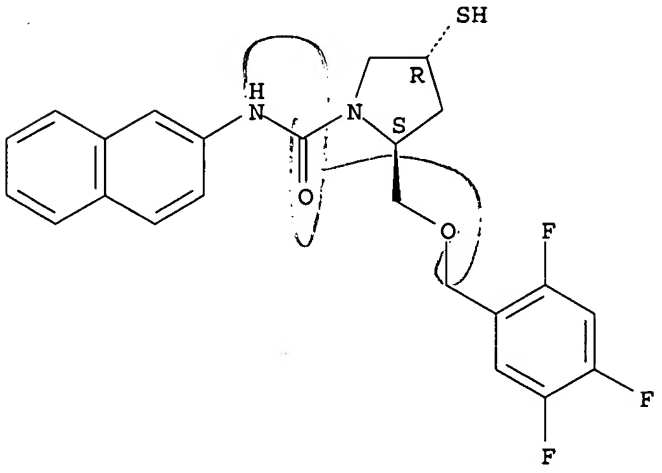
RN 393790-61-9 USPATFULL
CN 1-Pyrrolidinecarboxamide, 4-mercapto-N-1-naphthalenyl-2-[(phenylmethoxy)methyl]-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



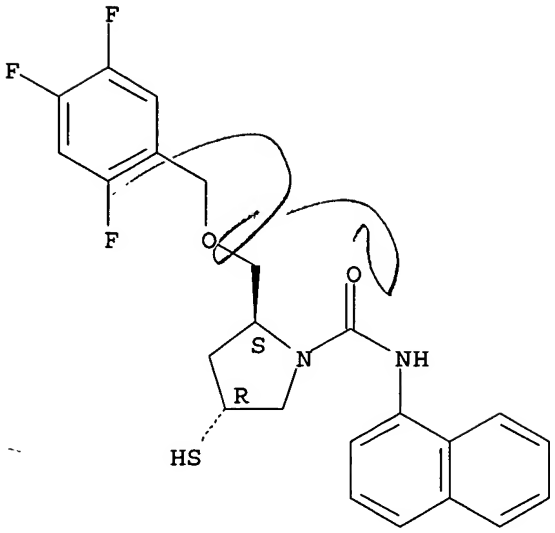
RN 393790-63-1 USPATFULL
CN 1-Pyrrolidinecarboxamide, 4-mercapto-N-2-naphthalenyl-2-[[(2,4,5-trifluorophenyl)methoxy]methyl]-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 393790-64-2 USPATFULL
CN 1-Pyrrolidinecarboxamide, 4-mercapto-N-1-naphthalenyl-2-[[(2,4,5-trifluorophenyl)methoxy]methyl]-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



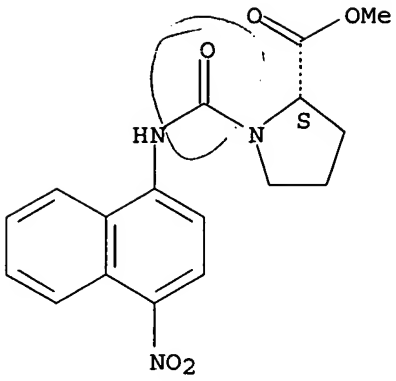
L38 ANSWER 2 OF 5 USPATFULL on STN
AN 2004:121143 USPATFULL
TI Bicyclic modulators of androgen receptor function
IN Hamann, Lawrence, Cherry Hill, NJ, UNITED STATES
Augeri, David, Princeton, NJ, UNITED STATES
PI US 2004092559 A1 20040513
AI US 2003-685020 A1 20031014 (10)
RLI Division of Ser. No. US 2002-209461, filed on 31 Jul 2002, GRANTED, Pat. No. US 6670386
PRAI US 2001-309059P 20010731 (60)
DT Utility
FS APPLICATION
LREP STEPHEN B. DAVIS, BRISTOL-MYERS SQUIBB COMPANY, PATENT DEPARTMENT, P O BOX 4000, PRINCETON, NJ, 08543-4000
CLMN Number of Claims: 5
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 2721
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention provides compounds of the formula I ##STR1##

wherein the substitutents are as described herein.

Further provided are methods of using such compounds for the treatment of nuclear hormone receptor-associated conditions, such as age related diseases, for example sarcopenia, and also provided are pharmaceutical compositions containing such compounds.

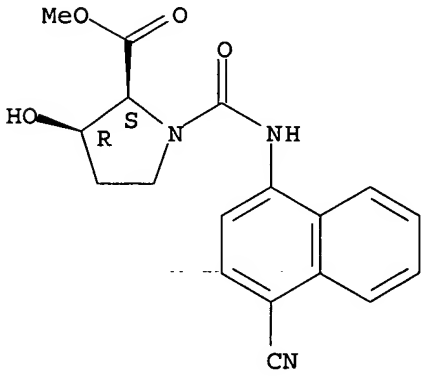
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **496840-99-4P**, (2S)-1-[[(4-Nitro-1-naphthalenyl)amino]carbonyl]-2-pyrrolidinecarboxylic acid methyl ester **496841-10-2P**, (2S,3R)-1-[(4-Cyanonaphthalen-1-yl)carbamoyl]-3-hydroxypyrrolidine-2-carboxylic acid methyl ester
(preparation of imidazole-containing heterobicyclic modulators of androgen receptor function)
RN 496840-99-4 USPATFULL
CN L-Proline, 1-[[(4-nitro-1-naphthalenyl)amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 496841-10-2 USPATFULL
CN L-Proline, 1-[[(4-cyano-1-naphthalenyl)amino]carbonyl]-3-hydroxy-, methyl ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

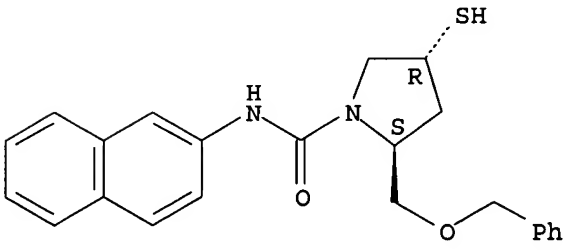


L38 ANSWER 3 OF 5 USPATFULL on STN
AN 2003:283225 USPATFULL
TI Pyrrolidine derivatives
IN Aebi, Johannes, Basel, SWITZERLAND
Bur, Daniel, Therwil, SWITZERLAND
Chucholowski, Alexander, San Diego, CA, UNITED STATES
Dehmlow, Henrietta, Grenzach-Wyhlen, GERMANY, FEDERAL REPUBLIC OF
Kitas, Eric Argirios, Arlesheim, SWITZERLAND
Obst, Ulrike, Grenzach-Wyhlen, GERMANY, FEDERAL REPUBLIC OF
Wessel, Hans Peter, Heitersheim, GERMANY, FEDERAL REPUBLIC OF
PI US 2003199569 A1 20031023
US 6790860 B2 20040914
AI US 2003-373622 A1 20030225 (10)
RLI Division of Ser. No. US 2001-906980, filed on 17 Jul 2001, ABANDONED
PRAI EP 2000-114949 20000719
DT Utility
FS APPLICATION
LREP HOFFMANN-LA ROCHE INC., PATENT LAW DEPARTMENT, 340 KINGSLAND STREET, NUTLEY, NJ, 07110
CLMN Number of Claims: 61
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 5164
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention relates to pyrrolidine derivatives useful as

inhibitors of metalloproteases, e.g. zinc proteases, and which are effective in treating disease states associated with vasoconstriction.

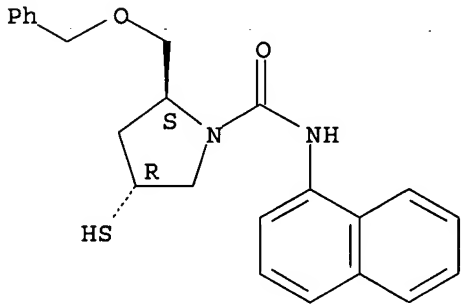
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **393790-60-8P 393790-61-9P 393790-63-1P**
393790-64-2P
(preparation of pyrrolidinethiols and analogs as metalloprotease inhibitors)
RN 393790-60-8 USPATFULL
CN 1-Pyrrolidinecarboxamide, 4-mercapto-N-2-naphthalenyl-2-[(phenylmethoxy)methyl]-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



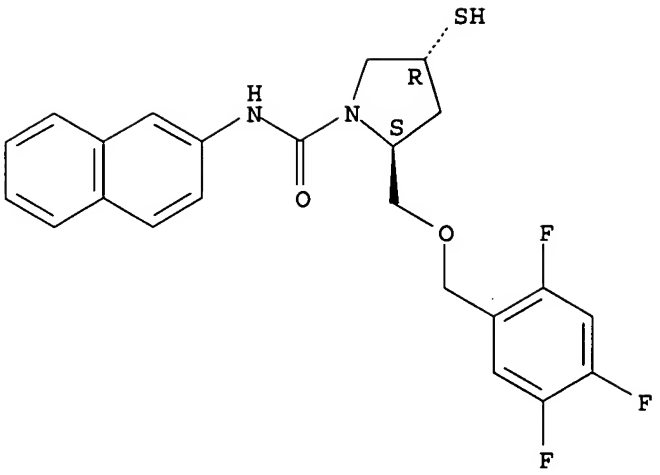
RN 393790-61-9 USPATFULL
CN 1-Pyrrolidinecarboxamide, 4-mercapto-N-1-naphthalenyl-2-[(phenylmethoxy)methyl]-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



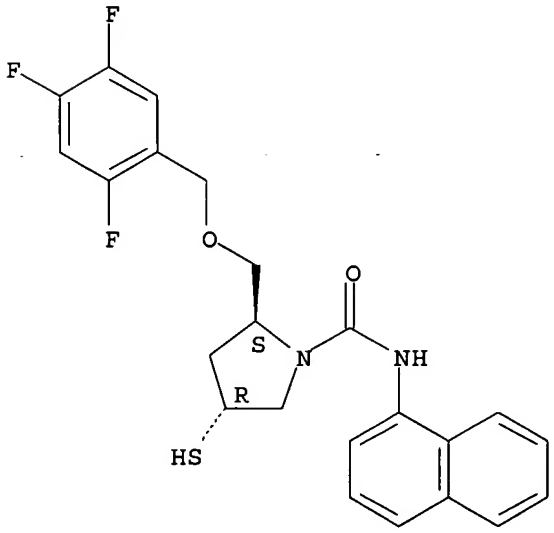
RN 393790-63-1 USPATFULL
CN 1-Pyrrolidinecarboxamide, 4-mercapto-N-2-naphthalenyl-2-[[(2,4,5-trifluorophenyl)methoxy]methyl]-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 393790-64-2 USPATFULL
CN 1-Pyrrolidinecarboxamide, 4-mercapto-N-1-naphthalenyl-2-[[(2,4,5-trifluorophenyl)methoxy]methyl]-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L38 ANSWER 4 OF 5 USPATFULL on STN
AN 2003:79166 USPATFULL
TI Bicyclic modulators of androgen receptor function
IN Sun, Chongqing, East Windsor, NJ, UNITED STATES
Robl, Jeffrey A., Newtown, PA, UNITED STATES
Salvati, Mark E., Lawrenceville, NJ, UNITED STATES
Wang, Tammy, Lawrenceville, NJ, UNITED STATES
Hamann, Lawrence, Cherry Hill, NJ, UNITED STATES
Augeri, David, Princeton, NJ, UNITED STATES
PI US 2003055094 A1 20030320
US 6670386 B2 20031230
AI US 2002-209461 A1 20020731 (10)
PRAI US 2001-309059P 20010731 (60)
DT Utility
FS APPLICATION

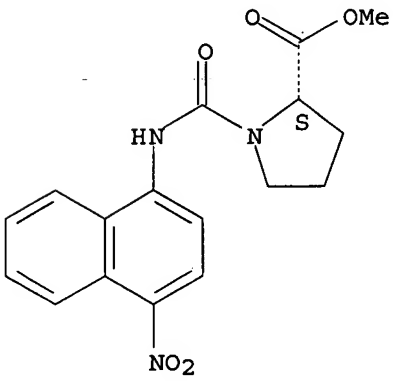
LREP STEPHEN B. DAVIS, BRISTOL-MYERS SQUIBB COMPANY, PATENT DEPARTMENT, P O BOX 4000, PRINCETON, NJ, 08543-4000
CLMN Number of Claims: 16
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 2909
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention provides compounds of the formula I ##STR1##

wherein the substitutents are as described herein.

Further provided are methods of using such compounds for the treatment of nuclear hormone receptor-associated conditions, such as age related diseases, for example sarcopenia, and also provided are pharmaceutical compositions containing such compounds.

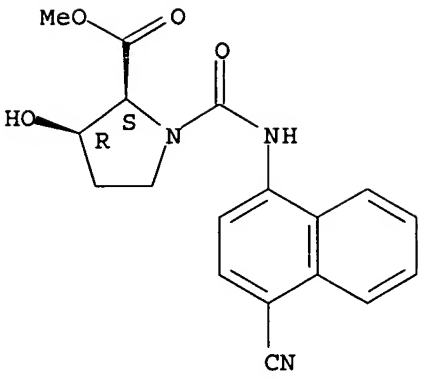
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **496840-99-4P**, (2S)-1-[[(4-Nitro-1-naphthalenyl)amino]carbonyl]-2-pyrrolidinecarboxylic acid methyl ester **496841-10-2P**, (2S,3R)-1-[(4-Cyanonaphthalen-1-yl)carbamoyl]-3-hydroxypyrrolidine-2-carboxylic acid methyl ester
(preparation of imidazole-containing heterobicyclic modulators of androgen receptor function)
RN 496840-99-4 USPATFULL
CN L-Proline, 1-[[(4-nitro-1-naphthalenyl)amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 496841-10-2 USPATFULL
CN L-Proline, 1-[[(4-cyano-1-naphthalenyl)amino]carbonyl]-3-hydroxy-, methyl ester, (3R)- (9CI) (CA INDEX NAME)

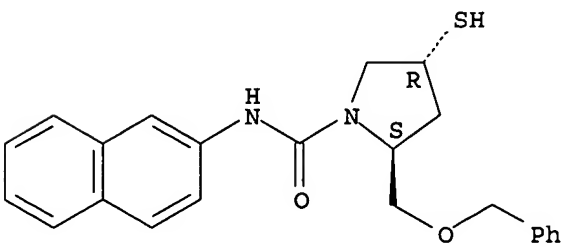
Absolute stereochemistry.



L38 ANSWER 5 OF 5 USPATFULL on STN
AN 2002:73005 USPATFULL
TI Pyrrolidine derivatives
IN Aebi, Johannes, Basel, SWITZERLAND
Bur, Daniel, Therwil, SWITZERLAND
Chucholowski, Alexander, San Diego, CA, UNITED STATES
Dehmlow, Henrietta, Grenzach-Wyhlen, GERMANY, FEDERAL REPUBLIC OF
Kitas, Eric Argirios, Arlesheim, SWITZERLAND
Obst, Ulrike, Grenzach-Wyhlen, GERMANY, FEDERAL REPUBLIC OF
Wessel, Hans Peter, Heitersheim, GERMANY, FEDERAL REPUBLIC OF
PI US 2002040146 A1 20020404
AI US 2001-906980 A1 20010717 (9)
PRAI EP 2000-114949 20000719
DT Utility
FS APPLICATION
LREP HOFFMANN-LA ROCHE INC., PATENT LAW DEPARTMENT, 340 KINGSLAND STREET, NUTLEY, NJ, 07110
CLMN Number of Claims: 29
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 5113
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention relates to pyrrolidine derivatives useful as inhibitors of metalloproteases, e.g. zinc proteases, and which are effective in treating disease states associated with vasoconstriction.

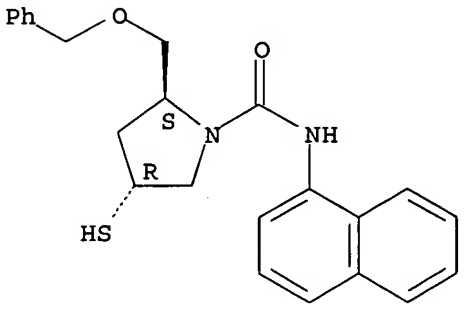
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **393790-60-8P 393790-61-9P 393790-63-1P**
393790-64-2P
(preparation of pyrrolidinethiols and analogs as metalloprotease inhibitors)
RN 393790-60-8 USPATFULL
CN 1-Pyrrolidinecarboxamide, 4-mercapto-N-2-naphthalenyl-2-[(phenylmethoxy)methyl]-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



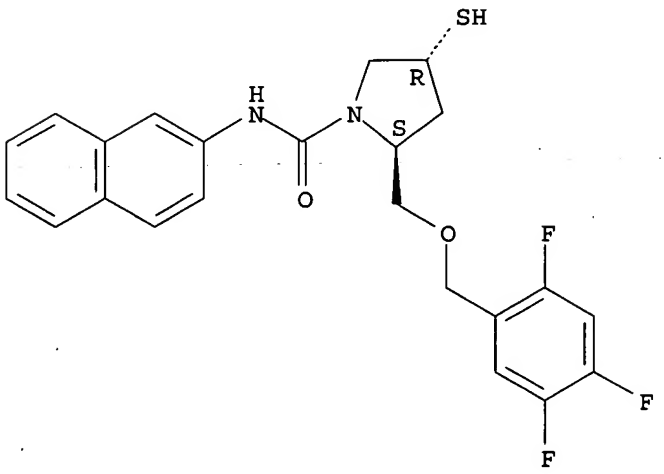
RN 393790-61-9 USPATFULL
CN 1-Pyrrolidinecarboxamide, 4-mercapto-N-1-naphthalenyl-2-[(phenylmethoxy)methyl]-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



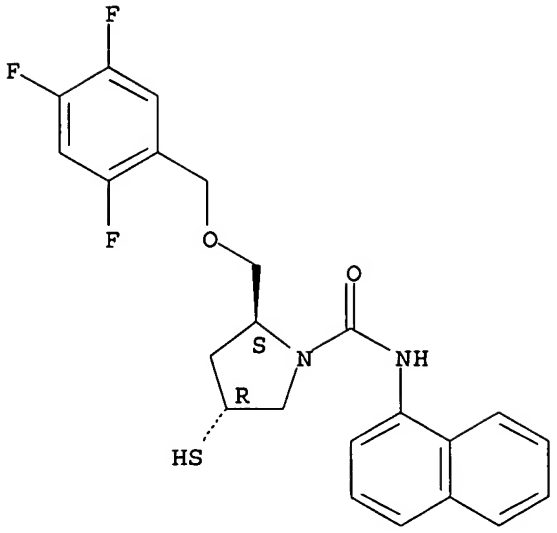
RN 393790-63-1 USPATFULL
CN 1-Pyrrolidinecarboxamide, 4-mercapto-N-2-naphthalenyl-2-[[(2,4,5-trifluorophenyl)methoxy]methyl]-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 393790-64-2 USPATFULL
CN 1-Pyrrolidinecarboxamide, 4-mercapto-N-1-naphthalenyl-2-[[(2,4,5-trifluorophenyl)methoxy]methyl]-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




```
=> d his

     (FILE 'HOME' ENTERED AT 14:29:33 ON 22 DEC 2004)
               SET COST OFF

     FILE 'HCAPLUS' ENTERED AT 14:29:45 ON 22 DEC 2004
L1             1 S (US20040092559 OR US6670386 OR US20030055094)/PN OR (US2001-3

     FILE 'REGISTRY' ENTERED AT 14:30:55 ON 22 DEC 2004

     FILE 'HCAPLUS' ENTERED AT 14:30:55 ON 22 DEC 2004
               SET SMARTSELECT ON
L2           SEL L1 1- RN :      97 TERMS
               SET SMARTSELECT OFF

     FILE 'REGISTRY' ENTERED AT 14:30:55 ON 22 DEC 2004
L3            97 S L2
L4            63 S L3 AND C6-C6/ES
L5             6 S L4 AND NC4/ES
L6             1 S L5 AND C18H17N3O4
                 E C18H17N3O4/MF
L7             1 S E3 AND C6-C6/ES AND NC4/ES AND 3/NR
L8             1 S L6,L7
L9            52 S L4 AND NR>=3
L10           51 S L9 NOT L8
L11           35 S L10 AND NCNC2-NC4/ES
L12           16 S L10 NOT L11
L13            4 S L12 AND NCNC2-NC5/ES
L14           12 S L12 NOT L13
L15            7 S L14 NOT L5
L16            7 S L15 NOT L8
L17              STR
L18            0 S L17
L19              STR L17
L20            0 S L19
L21              STR L19
L22            0 S L21
L23              STR L21
L24            1 S L23
```

```
L25       800109 S C6-C6/ES AND NR>=2
L26            1 S L23 SAM SUB=L25
L27            9 S L23 FUL SUB=L25
                 SAV L27 SHIAO685/A
L28            8 S L27 NOT L8,L16
L29            3 S L28 AND (NC2/ES OR C20H20N2O3 OR C29H27N3O5)
L30            5 S L28 NOT L29
                 SAV L30 SHIAO685A/A

     FILE 'HCAOLD' ENTERED AT 14:50:33 ON 22 DEC 2004
L31            0 S L8
L32            0 S L30

     FILE 'HCAPLUS' ENTERED AT 14:50:41 ON 22 DEC 2004
L33            2 S L8
L34            2 S L30
L35            3 S L33,L34

     FILE 'USPATFULL' ENTERED AT 14:50:59 ON 22 DEC 2004
L36            2 S L8
L37            5 S L30
L38            5 S L36,L37

     FILE 'REGISTRY' ENTERED AT 14:51:17 ON 22 DEC 2004

     FILE 'HCAPLUS' ENTERED AT 14:51:31 ON 22 DEC 2004

     FILE 'USPATFULL' ENTERED AT 14:51:56 ON 22 DEC 2004

=>
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